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NEWS	4	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	5	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS	6	FEB 10	COMPENDEX reloaded and enhanced
NEWS	7	FEB 11	WTEXTILES reloaded and enhanced
NEWS	8	FEB 19	New patent-examiner citations in 300,000 CA/CAPLUS patent records provide insights into related prior art
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NEWS	10	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	11	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS	12	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	13	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS	14	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS	15	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS	16	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS	17	MAR 11	ESBIOBASE reloaded and enhanced
NEWS	18	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS	19	MAR 23	CA/CAPLUS enhanced with more than 250,000 patent equivalents from China
NEWS	20	MAR 30	IMSPATENTS reloaded and enhanced
NEWS	21	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS	22	APR 07	STN is raising the limits on saved answers
NEWS	23	APR 24	CA/CAPLUS now has more comprehensive patent assignee information
NEWS	24	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS	25	APR 28	CAS patent authority coverage expanded
NEWS	26	APR 28	ENCOMPLIT/ENCOMPLIT2 search fields enhanced

NEWS 27 APR 28 Limits doubled for structure searching in CAS  
REGISTRY

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
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FILE 'HOME' ENTERED AT 16:29:50 ON 04 MAY 2009

=> file reg

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FULL ESTIMATED COST	0.22	0.22

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STRUCTURE FILE UPDATES: 3 MAY 2009 HIGHEST RN 1141929-94-3  
DICTIONARY FILE UPDATES: 3 MAY 2009 HIGHEST RN 1141929-94-3

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Uploading C:\Program Files\Stnexp\Queries\10511661a.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1  
SAMPLE SEARCH INITIATED 16:38:24 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 61730 TO ITERATE

3.2% PROCESSED 2000 ITERATIONS 0 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 1219779 TO 1249421  
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> search l1  
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.  
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full  
FULL SEARCH INITIATED 16:38:30 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1238081 TO ITERATE

100.0% PROCESSED 1238081 ITERATIONS 32 ANSWERS  
SEARCH TIME: 00.00.09

L3 32 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 192.12 192.34

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FILE COVERS 1907 - 4 May 2009 VOL 150 ISS 19  
FILE LAST UPDATED: 3 May 2009 (20090503/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 18 L3

=> d 14 fbib ab hitstr 1-18

L4 ANSWER 1 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2009:335387 CAPLUS

DN 150:352341

TI Increasing the in vivo biological activity of biologically active compounds

IN Jansen, Frans Herwing; Soomro, Shahid Ahmed

PA Dafra Pharma N.V., Belg.

SO PCT Int. Appl., 45pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2009033706	A1	20090319	WO 2008-EP7556	20080910
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	RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	WO 2009033494	A1	20090319	WO 2007-EP7868	A 20070910
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PATENT FAMILY INFORMATION:

FAN 2009:335463

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PI	WO 2009033494	A1	20090319	WO 2007-EP7868	20070910
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	WO 2009033706	A1	20090319	WO 2008-EP7556	20080910
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				WO 2007-EP7868	A 20070910

OS CASREACT 150:352341

AB The present invention relates to compds. with an increased in vivo biol. activity, and especially an increased pharmaceutical activity, such as an antinematodal or antifungal activity, an immunosuppressive activity, a metabolism influencing activity and/or an anticancer activity. Specifically, the present invention relates to a compound comprising an artemisinin derivative

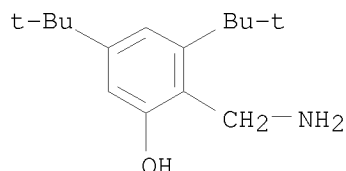
I covalently linked at the 1 or the 2 position to a compound with a biol. activity, or a pharmaceutically acceptable salt thereof, thereby increasing the biol. activity of said compound Compds. II [R1, R2 = H, XR3; R3 = biol. active compound; X = S, O, OC(:O), N] are prepared by reaction of dihydroartemisinin (III) with R3XH or R3XNa in Et2O containing BF3·OEt2. Thus, mercaptobenzimidazolylcarbamate IV was prepared from Me N-(5-mercaptobenzimidazol-2(1H)-yl)carbamate via reaction with Na in NH3 followed by reaction with dihydroartemisinin in Et2O containing BF3·OEt2.

IT 84210-35-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with dihydroartemisinin; increasing the in vivo biol. activity of biol. active compds. by conjugation with artemisinin)

RN 84210-35-5 CAPLUS

CN Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)- (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
AN 2009:139449 CAPLUS  
DN 150:191527  
TI Preparation of fused bicyclic compounds as regulators of mineralocorticoid receptor (MR)  
IN Takahashi, Yoichi; Awai, Nobumasa; Akatsuka, Hidenori; Kawaguchi, Takayuki; Iijima, Toru  
PA Mitsubishi Tanabe Pharma Corporation, Japan  
SO PCT Int. Appl., 134pp.  
CODEN: PIXXD2  
DT Patent  
LA Japanese  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2009017190	A1	20090205	WO 2008-JP63751	20080731
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
				JP 2007-200264	A 20070801

OS MARPAT 150:191527  
AB There are disclosed compds. such as benzoxazine and chromene derivs. represented by the formula [I; the ring A represents a benzene ring which is fused with the adjacent heterocyclic 6-membered ring and has a substituent R1, and which may have a substituent other than R1; R1 = alkylsulfonylamino, alkylaminosulfonyl; R2, R3 = H, alkyl, (un)substituted aryl; or R2 and R3 together form an oxo, or together with the adjacent carbon atom, form a cycloalkyl; X = N, C(R4), CH(R4); R4 = H, cyano, halo, alkyl, alkenyl, cycloalkyl, alkanoyl, carbamoyl, cycloalkenyl; Ar = (un)substituted aromatic cyclic group; and a dotted line means the presence or absence of a double bond] or pharmacol. acceptable salts thereof. These compds. have affinity for a mineralocorticoid receptor (MR) and are useful as mineralocorticoid receptor antagonists or aldosterone agonists for the prevention and/or treatment of various diseases or conditions caused by increase in activity of mineralocorticoid receptor and/or increase in level of aldosterone. They are useful as diuretics and for the prevention and/or treatment of hypertension, heart failure, myocardial infarction, angina pectoris, cardiac hypertrophy, myocardial fibrosis,

vascular fibrosis, baroreceptor disorder, body fluid excess, arrhythmia, primary or secondary aldosteronism, Addison's disease, Cushing syndrome, or Bartter syndrome. Thus, a solution of 101 mg 4-(4-chlorophenyl)-2,2-dimethyl-2H-1,3-benzoxazin-7-amine in 8 mL CHCl<sub>3</sub> was treated dropwise with 55  $\mu$ L methanesulfonyl chloride and 85  $\mu$ L pyridine and the resulting mixture was stirred at room temperature for 2 days

to

give, after silica gel chromatog., 112 mg N-[4-(4-chlorophenyl)-2,2-dimethyl-2H-1,3-benzoxazin-7-yl]methanesulfonamide (II). II in vitro inhibited the binding of [3H]aldosterone to the cytosol fraction of rat kidney with  $K_i \leq 0.5$   $\mu$ M.

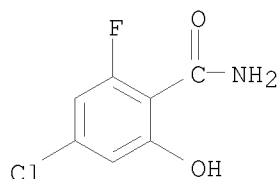
IT 1110662-23-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of fused bicyclic compds. as mineralocorticoid receptor antagonists or aldosterone agonists)

RN 1110662-23-1 CAPLUS

CN Benzamide, 4-chloro-2-fluoro-6-hydroxy- (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2007:384923 CAPLUS

DN 146:401830

TI Preparation of N-acylheterocycles as histone deacetylase (HDAC) inhibitors.

IN Dobler, Marcus Rolf; Grob, Jonathan E.; Patnaik, Anup; Radetich, Branko; Shultz, Michael; Zhu, Yanyi

PA Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SO PCT Int. Appl., 117pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2007038459	A2	20070405	WO 2006-US37358	20060925
	WO 2007038459	A3	20070712		
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

			US 2005-720900P	P	20050927
			US 2005-754960P	P	20051228
AU 2006294850	A1	20070405	AU 2006-294850		20060925
			US 2005-720900P	P	20050927
			US 2005-754960P	P	20051228
			WO 2006-US37358	W	20060925
CA 2623034	A1	20070405	CA 2006-2623034		20060925
			US 2005-720900P	P	20050927
			US 2005-754960P	P	20051228
			WO 2006-US37358	W	20060925
EP 1996550	A2	20081203	EP 2006-804134		20060925
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			WO 2006-US37358	W	20060925
IN 2008DN02492	A	20080627	IN 2008-DN2492		20080325
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			WO 2006-US37358	W	20060925
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			WO 2006-US37358	W	20060925

OS MARPAT 146:401830

AB Title compds. [I; R1 = H, NH2, NHR6, SR6, SOR6, O, OR6; R2, R3 = H, (heterosubstituted) alkyl, alkenyl; X = atoms to form (heterosubstituted) cycloalkyl, cycloalkenyl, aryl, heterocycloalkyl, heteroaryl, polyheterocyclyl; n, p = 0-4; R4 = H, (heterosubstituted) alkyl, alkylaryl, alkoxy, cycloalkyl, aryl, heterocycloalkyl, heteroaryl, etc.; R5 = H, O, halo, alkoxy, (heterosubstituted) alkyl; R6 = H, alkyl], were prepared Thus, title compound (R)-2-amino-1-(4-biphenyl-3-yl-3,6-dihydro-2H-pyridin-1-yl)-3-(4-chlorophenyl)propan-1-one was prepared from 1-Boc-4-piperidone, 3-biphenylboronic acid, and Boc-4-chloro-D-phenylalanine in 5 steps. I inhibited HDAC with IC50 = 0.005-100  $\mu$ M.

IT 932719-11-4P

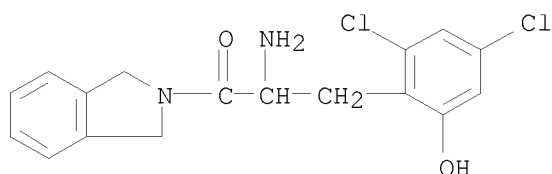
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)



(preparation of N-acylheterocycles as histone deacetylase inhibitors)

RN 932719-11-4 CAPLUS

CN 1-Propanone, 2-amino-3-(2,4-dichloro-6-hydroxyphenyl)-1-(1,3-dihydro-2H-isoindol-2-yl)- (CA INDEX NAME)



L4 ANSWER 4 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:729486 CAPLUS

DN 145:377150

TI Facile regio- and stereoselective carbon-carbon coupling of phenol derivatives with aryl aziridines. [Erratum to document cited in CA145:124402]

AU Pineschi, Mauro; Bertolini, Ferruccio; Crotti, Paolo; Macchia, Franco  
CS Dipartimento di Chimica Bioorganica e Biofarmacia, Universita di Pisa, Pisa, 56126, Italy

SO Organic Letters (2006), 8(19), 4383  
CODEN: ORLEF7; ISSN: 1523-7060

PB American Chemical Society

DT Journal

LA English

AB On page 2627, the chemical structures of compds. 2A, 2B, and 2C in Scheme 1 are incorrect; the correct version of scheme 1 is given. On page 2627, the chemical structures of compds. 2A, 2B, and 2C in Scheme 2 are incorrect; the correct version of the compds. are given. On page 2628, in column 1, in lines 6 and 7, "a high syn selectivity" should read "a high anti stereoselectivity". On page 2628, in column 2, in paragraph 2, in line 16, "and syn stereoselectivity (entries 1-3, Table 1)" should read "and anti stereoselectivity (entries 1-3, Table 1)". On page 2628, in column 2, in paragraph 3, in line 39, "complete syn stereoselectivity" should read "complete anti stereoselectivity". On page 2629, in column 2 of Table 1, the first six entries relative to aziridine configuration as "(R)" should read "(S)". On page 2629, the title of the seventh column of Table 1 should read "anti/syn". On page 2629, in the sixth column of Table 1, the chemical structure of compound 3fd is incorrect; the correct chemical

structure is given. On page 2630, the chemical reaction scheme on top of Table 2 is incorrect; the correct version of the reaction scheme is given. On page 2630, in column 2 in paragraph 2, in line 2, "trans 2,3-substituted indoline 6fd" should read "cis 2,3-substituted indoline 6fd". On page 2630, in column 2, in paragraph 2, in line 12, "retention of configuration at the cleaved center" should read "inversion of configuration at the cleaved center".

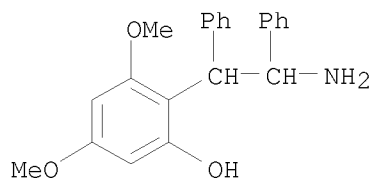
IT 897961-20-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

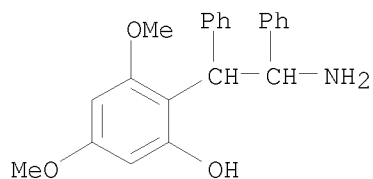
(regio- and stereoselective carbon-carbon coupling of phenol derivs. with arylaziridines (Erratum))

RN 897961-20-5 CAPLUS

CN Phenol, 2-(2-amino-1,2-diphenylethyl)-3,5-dimethoxy- (CA INDEX NAME)



L4 ANSWER 5 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2006:429502 CAPLUS  
 DN 145:124402  
 TI Facile regio- and stereoselective carbon-carbon coupling of phenol derivatives with aryl aziridines  
 AU Pineschi, Mauro; Bertolini, Ferruccio; Crotti, Paolo; Macchia, Franco  
 CS Dipartimento di Chimica Bioorganica e Biofarmacia, Universita di Pisa, Pisa, 56126, Italy  
 SO Organic Letters (2006), 8(12), 2627-2630  
 CODEN: ORLEF7; ISSN: 1523-7060  
 PB American Chemical Society  
 DT Journal  
 LA English  
 OS CASREACT 145:124402  
 AB A chemo-, regio-, and stereoselective direct carbon-carbon coupling of readily available aryl borates with N-protected arylaziridines provides a method for the synthesis of new 2-(o-hydroxyaryl)-2-arylethylamines which can be used, in a novel annulation sequence, to give stereodefined substituted 3-arylindolines.  
 IT 897961-20-5P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (regio- and stereoselective carbon-carbon coupling of phenol derivs. with arylaziridines)  
 RN 897961-20-5 CAPLUS  
 CN Phenol, 2-(2-amino-1,2-diphenylethyl)-3,5-dimethoxy- (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2004:859383 CAPLUS  
 DN 142:373475  
 TI Transition metal catalyzed sodium borotritide reductions: a useful alternative to the use of tritium gas  
 AU Tang, Yui S.; Liu, Wensheng; Chaudhary, Ashok; Melillo, David G.; Dean, Dennis C.  
 CS Merck Research Laboratories, Rahway, NJ, 07065, USA  
 SO Synthesis and Applications of Isotopically Labelled Compounds, Proceedings of the International Symposium, 8th, Boston, MA, United States, June 1-5,

2003 (2004), Meeting Date 2003, 71-74. Editor(s): Dean, Dennis C.; Filer, Crist N.; McCarthy, Keith E. Publisher: John Wiley & Sons Ltd., Chichester, UK.

CODEN: 69FZAZ; ISBN: 0-470-86365-X

DT Conference

LA English

OS CASREACT 142:373475

AB Sodium borotritide can be used in combination with transition metal additives for reduction of aryl halides and olefins as an alternative to traditional catalytic tritium gas reduction. This methodol. produces high specific activity product, demonstrates excellent chemoselectivity, and eliminates undesired tritium exchange.

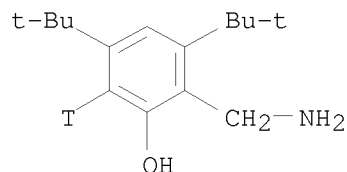
IT 849367-52-8P

RL: SPN (Synthetic preparation); PREP (Preparation)

(chemoselective preparation of tritium labeled arenes via reductive dehalogenation of arylhalides with sodium borotritide and palladium acetate)

RN 849367-52-8 CAPLUS

CN Phen-2-t-ol, 6-(aminomethyl)-3,5-bis(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:2832 CAPLUS

DN 140:59400

TI Preparation of aminoalkylphenols as antimalarials active against drug-resistant Plasmodia.

IN Dorn, Conrad P.; Powles, Mary Ann; Walsh, Thomas F.; Wyvratt, Matthew J.

PA Merck & Co., Inc., USA

SO PCT Int. Appl., 51 pp.

CODEN: PIXXD2

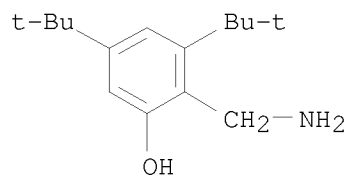
DT Patent

LA English

FAN.CNT 1

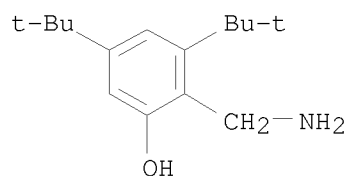
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	WO 2004000783	A1	20031231	WO 2003-US19393	20030620
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

CA 2490243	A1	20031231	US 2002-391361P	P	20020624
			CA 2003-2490243		20030620
			US 2002-391361P	P	20020624
AU 2003251574	A1	20040106	WO 2003-US19393	W	20030620
AU 2003251574	B2	20090122	AU 2003-251574		20030620
			US 2002-391361P	P	20020624
			WO 2003-US19393	W	20030620
EP 1517879	A1	20050330	EP 2003-761147		20030620
			R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
			US 2002-391361P	P	20020624
			WO 2003-US19393	W	20030620
JP 2005534676	T	20051117	JP 2004-515965		20030620
			US 2002-391361P	P	20020624
			WO 2003-US19393	W	20030620
US 20050234265	A1	20051020	US 2004-511661		20041018
			US 2002-391361P	P	20020624
			WO 2003-US19393	W	20030620
OS	MARPAT 140:59400				
AB	<p>Title compds. [I; R5, R1a, R1 = H, alkyl, halo, alkoxy, cycloalkyl, aryl, trihalovinyl, said aryl optionally substituted with 1-3 Ra; R2 = H, alkyl, C3-10 cycloalkyl; taken together with any intervening atoms can form a 3-7 membered carbocyclyl, heterocyclyl unsatd., said heterocyclic ring containing 1-2 O, CO, S, SO, SO2, N, NR2a and optionally substituted by 1-3 Ra; R2a = H, alkyl; R3, R3a = H, halo, alkyl, C3-10 cycloalkyl, aryl, said aryl and alkyl optionally substituted with 1-3 Ra; R3R3a = atoms to form a 3-7 membered carbocyclyl, heterocyclyl saturated or unsatd., said heterocyclic ring containing 1-2 O, CO, S, SO, SO2, N, NR2a and optionally substituted by 1-3 Ra; R4 = H, halo, alkyl, trihaloalkyl; Ra = alkoxy, alkyl, CF3, NO2, amino, cyano, alkylamino, halo; n = 1-3], were prepared Thus, 3-tert-butylphenol and N-hydroxymethyl-2-chloroacetamide were added in portions to a vigorously stirred solution of AcOH and H2SO4 at 0°; the reaction mixture was allowed to warm to room temperature over several hours,</p>				
	and				
	<p>stirring was maintained for a total of 20 h to give a product which was heated in aqueous HCl at 85° for 3 h to give 2-aminomethyl-5-tert-butylphenol hydrochloride. I inhibited Plasmodium falciparum with IC50&lt;1 µg/mL.</p>				
IT	<p>51571-04-1P 84210-35-5P 639069-25-3P 639069-26-4P 639070-00-1P 639070-07-8P            RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)            (preparation of aminoalkylphenols as antimalarials active against drug-resistant Plasmodia)</p>				
RN	51571-04-1 CAPLUS				
CN	<p>Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)-, hydrochloride (9CI)            (CA INDEX NAME)</p>				

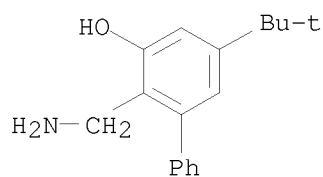


● HCl

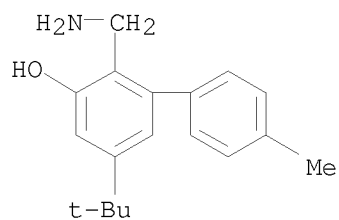
RN 84210-35-5 CAPLUS  
CN Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)- (CA INDEX NAME)



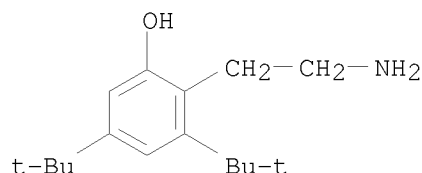
RN 639069-25-3 CAPLUS  
CN [1,1'-Biphenyl]-3-ol, 2-(aminomethyl)-5-(1,1-dimethylethyl)- (CA INDEX NAME)



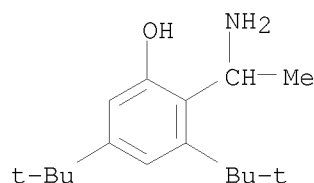
RN 639069-26-4 CAPLUS  
CN [1,1'-Biphenyl]-3-ol, 2-(aminomethyl)-5-(1,1-dimethylethyl)-4'-methyl- (CA INDEX NAME)



RN 639070-00-1 CAPLUS  
CN Phenol, 2-(2-aminoethyl)-3,5-bis(1,1-dimethylethyl)- (CA INDEX NAME)

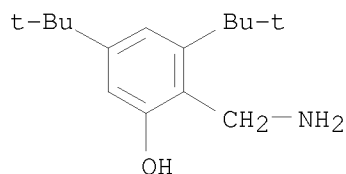


RN 639070-07-8 CAPLUS  
 CN Phenol, 2-(1-aminoethyl)-3,5-bis(1,1-dimethylethyl)- (CA INDEX NAME)



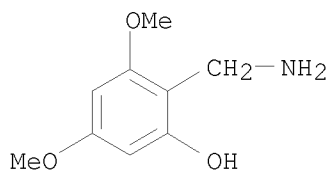
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2002:857716 CAPLUS  
 DN 138:197738  
 TI A structurally characterized monomeric Mn(IV) complex in a discrete N2O4 coordination environment  
 AU Rajendiran, T. M.; Kampf, Jeff W.; Pecoraro, Vincent L.  
 CS Department of Chemistry, The University of Michigan, Ann Arbor, MI, 48109-1055, USA  
 SO Inorganica Chimica Acta (2002), 339, 497-502  
 CODEN: ICHAA3; ISSN: 0020-1693  
 PB Elsevier Science B.V.  
 DT Journal  
 LA English  
 OS CASREACT 138:197738  
 AB From the reaction of Mn(III)(OAc)3 with (3,5-di-tert-butyl-2-hydroxyphenylmethyliminomethyl)3,5-di-tert-butylphenol (H2dbpip) in MeCN, dark brown crystals of compound Bis[(3,5-di-tert-butyl-2-hydroxyphenylmethyliminomethyl)3,5-di-tert-butylphenolato]manganese (IV), Mn(IV)(dbpip)2 (1) were obtained upon slow evaporation of the solvent. The structural assignments of 1, that were made in part by elemental anal. and magnetic susceptibility, were confirmed by single crystal x-ray diffraction studies which revealed that compound 1 crystallizes in the monoclinic, space group C2/c with a cell dimensions a = 49.746(8), b = 12.682(2), c 19.497(3) Å, α 90, β 94.240(3), γ 90°. Cyclic voltammetry reveals a quasi reversible redox wave corresponding to the Mn(III)/Mn(IV) couple. The EPR spectrum at 4 K consists of strong and weak signals near g = 2 and 4, resp. A comparison of the EPR spectrum to there obtained for other Mn(IV)N2O4 complexes reveals that 1 is a rare example of an axial Mn(IV) species with D<sub>4h</sub>.  
 IT 84210-35-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (for preparation of hydroxyphenylmethyliminomethylphenol)  
 RN 84210-35-5 CAPLUS  
 CN Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)- (CA INDEX NAME)



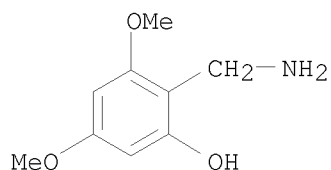
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
AN 1998:474387 CAPLUS  
DN 129:149242  
OREF 129:30425a,30428a  
TI Solid-phase synthesis of muramyl dipeptides on isomeric  
trialkoxybenzylamine resins  
AU Kohlbau, Hans-Juergen; Tschakert, Jochen; Al-Qawasmeh, Raed A.; Nizami,  
Tanveer Ahmad; Malik, Abdul; Voelter, Wolfgang  
CS Abteilung Physikalische Biochemie, Physiologisch-Chemisches Institut,  
Universitaet Tuebingen, Tuebingen, D-72076, Germany  
SO Zeitschrift fuer Naturforschung, B: Chemical Sciences (1998), 53(7),  
753-764  
CODEN: ZNBSEN; ISSN: 0932-0776  
PB Verlag der Zeitschrift fuer Naturforschung  
DT Journal  
LA German  
AB Isomeric trialkoxybenzylamine resins are developed by coupling of  
phthalimidomethyl-substituted 3,5-dimethoxyphenols to Merrifield resin and  
subsequent treatment with N2H4. The generated benzylamine function allows  
DCC coupling with the carboxyl function of amino acids and peptides which  
are removed as amides after treatment with CF3CO2H. These  
trialkoxybenzylamine resins allow expeditious syntheses of peptide amides  
and glycopeptide amides as is demonstrated for muramyl peptides and  
analogues.  
IT 130632-99-4DP, resin-bound  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(solid-phase synthesis of muramyl dipeptides on isomeric  
alkoxybenzylamine resins)  
RN 130632-99-4 CAPLUS  
CN Phenol, 2-(aminomethyl)-3,5-dimethoxy- (CA INDEX NAME)



L4 ANSWER 10 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
AN 1990:632031 CAPLUS  
DN 113:232031

OREF 113:39169a,39172a  
 TI Acid-labile anchoring linkages for solid phase synthesis of C-terminal asparagine peptides using the Fmoc strategy  
 AU Shao, Jun; Li, You He; Voelter, Wolfgang  
 CS Inst. Biochem., Univ. Tuebingen, Tuebingen, Germany  
 SO International Journal of Peptide & Protein Research (1990), 36(2), 182-7  
 CODEN: IJPPC3; ISSN: 0367-8377  
 DT Journal  
 LA English  
 OS CASREACT 113:232031  
 AB Two acid-labile substituted benzylamine type anchoring linkages, 4-benzyloxy-2,6-dimethoxybenzylamine and 2-benzyloxy-4,6-dimethoxybenzylamine, for solid phase synthesis of peptide amides were prepared. The N $\alpha$ -9-fluorenylmethyloxycarbonyl (Fmoc) amino acids could be easily attached to the resins with DCC/HOBt (loading 0.5-0.6 mmol/g resin). After final removal of the N $\alpha$ -protecting groups, treatment with CF<sub>3</sub>CO<sub>2</sub>H (50-95%) yielded amino acid and peptide amides in high purity. The synthesis of thymulin (pGlu-Ala-Lys-Ser-Gln-Gly-Ser-Asn-OH) demonstrated that these two resins with anchoring linkages are well suited for the synthesis of C-terminal asparagine peptides using protected aspartic acid derivs. as starting materials.  
 IT 130632-99-4DP, resin-bound  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and solid-phase peptide coupling reactions of, peptide amides from)  
 RN 130632-99-4 CAPLUS  
 CN Phenol, 2-(aminomethyl)-3,5-dimethoxy- (CA INDEX NAME)



L4 ANSWER 11 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 1989:566101 CAPLUS  
 DN 111:166101  
 OREF 111:27485a,27488a  
 TI Metal complexes of antiinflammatory drugs. Part VI. 2-Aminomethyl-4-(1,1-dimethylethyl)-6-iodophenol (MK-447) complex of copper(II)  
 AU Bury, A.; Underhill, A. E.; Fleet, M. B.; Keymer, P. J.; Stevens, A.; Gomm, P. S.  
 CS Chem. Dep., Univ. Coll. North Wales, Bangor, UK  
 SO Inorganica Chimica Acta (1989), 158(2), 181-4  
 CODEN: ICHAA3; ISSN: 0020-1693  
 DT Journal  
 LA English  
 AB The preparation and properties of Cu(MK)2.2H<sub>2</sub>O are reported for the anti-inflammatory drug 2-aminomethyl-4-(1,1-dimethylethyl)-6-iodophenol (HMK). The diffuse reflectance spectra and magnetic moments are consistent with a tetragonally distorted pseudooctahedral environment around the Cu(II) ion. The IR spectra indicate that MK acts as a chelate



monoanionic ligand with coordination involving the phenolate O atom and the N atom of the aminomethyl group. The Cu(II) complex exhibits marked superoxide dismutase activity in the nitroblue tetrazolium assay.

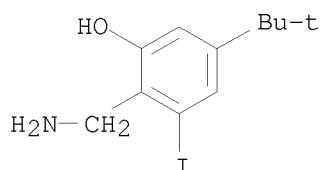
IT 122890-69-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(IR spectrum and superoxide dismutase activity of)

RN 122890-69-1 CAPLUS

CN Phenol, 2-(aminomethyl)-5-(1,1-dimethylethyl)-3-iodo-, conjugate acid (1:1) (CA INDEX NAME)



L4 ANSWER 12 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1988:140707 CAPLUS

DN 108:140707

OREF 108:22935a,22938a

TI Triboelectrifying material for charging electrostatographic toner

IN Fukumoto, Hiroshi; Tanaka, Katsuhiko; Kawagishi, Yoji

PA Canon K. K., Japan; Orient Chemical Industries, Ltd.

SO Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	JP 61160763	A	19860721	JP 1985-819	19850109
	JP 06046314	B	19940615		
				JP 1985-819	19850109

AB The triboelectrifying material has on its surface a metal-salicylamine or alkylsalicylamine complex. The complex may be coated on carrier particles, on a developing sleeve, or on a developing doctor blade. An Fe powder may be coated with Co-salicylamine complex to give the title material. The material shows improved durability in providing images with constant d.

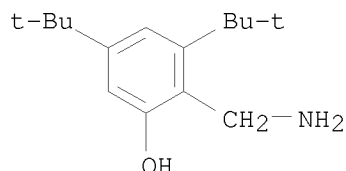
IT 84210-35-5D, complexes with transition metals

RL: USES (Uses)

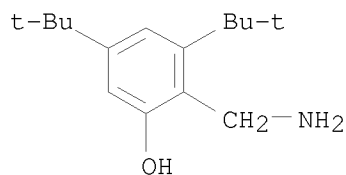
(triboelectrifying agents, for electrostatog. toners, with improved durability)

RN 84210-35-5 CAPLUS

CN Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)- (CA INDEX NAME)



L4 ANSWER 13 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 1983:53306 CAPLUS  
 DN 98:53306  
 OREF 98:8181a,8184a  
 TI The use of sterically hindered benzylamines in the Sommelet reaction  
 AU Stokker, G. E.; Schultz, E. M.  
 CS Merck Sharp Dohme Res. Lab., West Point, PA, 19486, USA  
 SO Synthetic Communications (1982), 12(11), 847-53  
 CODEN: SYNCAV; ISSN: 0039-7911  
 DT Journal  
 LA English  
 OS CASREACT 98:53306  
 AB Amines I (R = H, Me; R1 = H, halo, Me; R2 = H, alkyl, OMe; R3 = alkyl, H, Cl; R4 = H, alkyl, Cl, OMe) were converted to the resp. aldehydes II. Thus, I (R = R2 = R4 = H, R1 = iodo, R3 = CMe3) hydrochloride was heated with hexamethylenetetramine in aqueous HOAc to give II.  
 IT 84210-35-5  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (Sommelet reaction of)  
 RN 84210-35-5 CAPLUS  
 CN Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)- (CA INDEX NAME)



L4 ANSWER 14 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 1980:620454 CAPLUS  
 DN 93:220454  
 OREF 93:35187a,35190a  
 TI 2-(Aminomethyl)phenols, a new class of saluretic agents. 1. Effects of nuclear substitution  
 AU Stokker, G. E.; Deana, A. A.; DeSolms, S. J.; Schultz, E. M.; Smith, R. L.; Cragoe, E. J., Jr.; Baer, J. E.; Ludden, C. T.; Russo, H. F.; et al.  
 CS Merck Inst. Ther. Res., West Point, PA, 19486, USA  
 SO Journal of Medicinal Chemistry (1980), 23(12), 1414-27  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 OS CASREACT 93:220454  
 AB A series of .apprx.100 2-(aminomethyl)phenols was synthesized and tested in rats and dogs for saluretic and diuretic activity; several were highly active on i.v. or oral administration. The most active were

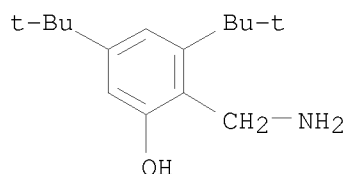
4-alkyl-6-halo derivs., especially 2-(aminomethyl)-4-(1,1-dimethylethyl)-6-iodophenol (I). I also had significant antihypertensive, topical saluretic, and antiinflammatory activity.

IT 51571-04-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as potential diuretic or saluretic agent)

RN 51571-04-1 CAPLUS

CN Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)-, hydrochloride (9CI)  
(CA INDEX NAME)



● HCl

L4 ANSWER 15 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1978:423271 CAPLUS

DN 89:23271

OREF 89:3617a,3620a

TI Infrared spectra of 1,2,3,5-tetrasubstituted benzene derivatives

AU Varsanyi, G.; Horvath, G.; Imre, L.; Schawartz, J.; Sohar, P.; Soti, F.

CS Tech. Univ. Budapest, Budapest, Hung.

SO Acta Chimica Academiae Scientiarum Hungaricae (1977), 93(3-4), 315-55

CODEN: ACASA2; ISSN: 0001-5407

DT Journal

LA English

AB The ring vibration in the IR of one-hundred and fifteen 1,2,3,5-tetrasubstituted benzenes are classified into 3 groups, depending on whether all 4 substituents are light or 1 or 2 of them are heavy (consts. Cl, Br and/or I). The substituent effects on the fundamental vibrations of the benzene ring and their intensities, and the character of the bands associated with internal substituent vibrations are discussed.

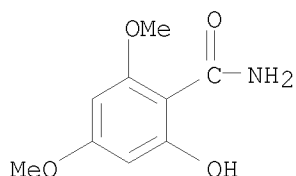
IT 62827-48-9

RL: PRP (Properties)

(IR of)

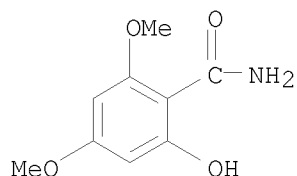
RN 62827-48-9 CAPLUS

CN Benzamide, 2-hydroxy-4,6-dimethoxy- (CA INDEX NAME)



L4 ANSWER 16 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN

AN 1977:189650 CAPLUS  
 DN 86:189650  
 OREF 86:29737a,29740a  
 TI Synthesis of natural dibenzo- $\alpha$ -pyrones, II. Synthesis of alternariol and alternariol 9-methyl ether  
 AU Soti, Ferenc; Incze, Maria; Kajtar-Peredy, Maria; Baitz-Gacs, Eszter; Imre, Lajos; Farkas, Lorand  
 CS Cent. Res. Inst. Chem., Hung. Acad. Sci., Budapest, Hung.  
 SO Chemische Berichte (1977), 110(3), 979-84  
 CODEN: CHBEAM; ISSN: 0009-2940  
 DT Journal  
 LA German  
 AB I (R = OH), a key intermediate in the synthesis of arternariol (II, R1 = R2 = H), was prepared in 6 steps from 2,4,6-Br(O2N)2C6H2Me by successively replacing the MeO groups and finally oxidizing the Me group. The Hurdley condensation, used to cyclize I (R = OH) with 5-MeC6H3(OH)2-1,3 to give II (R1 = R2 = H), was extended to the corresponding amide I (R = NH2) to give 25% II (R1 = R2 = Me), which was completely demethylated to give 81% II (R1 = R2 = H) or partially demethylated to give 73% II (R1 = Me, R2 = H). I (R = NH2) was prepared in 3 steps from 2,4,6-Br(O2N)2C6H2NH2.  
 IT 62827-48-9P  
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)  
 RN 62827-48-9 CAPLUS  
 CN Benzamide, 2-hydroxy-4,6-dimethoxy- (CA INDEX NAME)



L4 ANSWER 17 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 1974:120533 CAPLUS  
 DN 80:120533  
 OREF 80:19395a,19398a  
 TI Treating edema and hypertension using certain 2-aminoethylphenols  
 IN Cragoe, Edward J., Jr.; Schultz, Everett M.  
 PA Merck and Co., Inc.  
 SO U.S., 9 pp.  
 CODEN: USXXAM

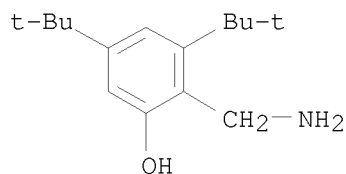
DT Patent  
 LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3794734	A	19740226	US 1971-120730	19710303
	US 3979361	A	19760907	US 1975-600990	19750801
				US 1971-120730	A2 19710303
				US 1974-444200	A2 19740220
	US 4044153	A	19770823	US 1976-684138	19760507
				US 1971-120730	A2 19710303
				US 1974-444200	A2 19740220
				US 1975-600990	A1 19750801

## PATENT FAMILY INFORMATION:

FAN	1977:29478				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 3979361	A	19760907	US 1975-600990	19750801
				US 1971-120730	A2 19710303
				US 1974-444200	A2 19740220
	US 3794734	A	19740226	US 1971-120730	19710303
	US 4044153	A	19770823	US 1976-684138	19760507
				US 1971-120730	A2 19710303
				US 1974-444200	A2 19740220
				US 1975-600990	A1 19750801
FAN	1977:551847				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 4044153	A	19770823	US 1976-684138	19760507
				US 1971-120730	A2 19710303
				US 1974-444200	A2 19740220
				US 1975-600990	A1 19750801
	US 3794734	A	19740226	US 1971-120730	19710303
	US 3979361	A	19760907	US 1975-600990	19750801
				US 1971-120730	A2 19710303
				US 1974-444200	A2 19740220
AB	2-(Aminomethyl)phenols (I; e.g., R = R2 = R3 = Cl, R1 = H; R = Me, R1 = R3 = H, R2 = Me3C; R = H, R1 = R3 = MeO, R2 = Cl), useful in the treatment of adema and hypertension, were prepared Thus, treatment of 2,4,5-Cl3C6H2OH and ClCH2-CONHCH2OH with H2SO4 gave the amide (II) which, when treated with ethanolic HCl, gave I (R = R2 = R3 = Cl, R1 = H). About 24 I were prepared similarly.				
IT	51571-04-1P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	51571-04-1 CAPLUS				
CN	Phenol, 2-(aminomethyl)-3,5-bis(1,1-dimethylethyl)-, hydrochloride (9CI) (CA INDEX NAME)				



● HCl

L4 ANSWER 18 OF 18 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 1917:16235 CAPLUS  
 DN 11:16235  
 OREF 11:3259i,3260a-c  
 TI Formation of hydrocoumarin derivatives (dihydro- $\alpha$ -benzopyrones) from phloroglucinol  
 AU Fischer, Emil; Nouri, Osman  
 SO Berichte der Deutschen Chemischen Gesellschaft (1917), 50, 693-701

CODEN: BDCGAS; ISSN: 0365-9496

DT Journal

LA Unavailable

AB through J. Chemical Society 112, I, 469-70. When cinnamionitrile and phloroglucinol (a) in Et<sub>2</sub>O are mixed with powdered ZnCl<sub>2</sub>, chilled and saturated with HCl there gradually seps. the granular HCl salt of the intermediate imine, (HO)<sub>2</sub>C<sub>6</sub>H<sub>2</sub>.CHPh.CH<sub>2</sub>.C(:NH.HCl).O, which on heating with H<sub>2</sub>O yields 5,7-dihydroxy-4-phenyl-3,4-dihydro-1,2-benzopyrone, (HO)<sub>2</sub>C<sub>6</sub>H<sub>2</sub>.CHPh.CH<sub>2</sub>.CO.O, slender needles, m. 211°, whose diacetate m. 147-8°. The compound can also be obtained by reduction of the dihydroxyphenylbenzopyrone. With CH<sub>2</sub>N<sub>2</sub> it gives the 5,7-dimethoxy compound (b), long needles or stout prisms, m. 131-2°, converted into β-phenyl-β-2,4,6-trimethoxyphenylpropionic acid, columns or tablets, m. 156-7°, by hydrolyzing with aqueous alc. NaOH, adding the Et<sub>2</sub>O extract to CH<sub>2</sub>N<sub>2</sub> in cold Et<sub>2</sub>O and finally hydrolyzing the resulting Me ester. With NH<sub>3</sub> in MeOH at 50-60° in a sealed tube (b) yields β-phenyl-β-2-hydroxy-4,6-dimethoxyphenylpropionamide, m. 185-6° (decomposition), and with warm PhNHNH<sub>2</sub> it gives the phenylhydrazone, long prisms, m. 171-2°. p-Coumaronitrile and (a) similarly give 5,7-dihydroxy-4-hydroxyphenyl-3,4-dihydro-1,2-benzopyrone, slender needles, m. indefinitely about 270°. PhC.tplbond.CC<sub>2</sub>Et and (a) give a good yield of 5,7-dihydroxy-4-phenyl-1,2-benzopyrone, m. 238-9°. The above m. ps. are corrected

IT 861324-27-8P, Melilotamide, 4,6-dimethoxy-β-phenyl-

RL: PREP (Preparation)

(preparation of)

RN 861324-27-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

